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* * * * * * * * * *
                     Welcome to STN International
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      2 AUG 15
                 CAOLD to be discontinued on December 31, 2008
      3 OCT 07
                 EPFULL enhanced with full implementation of EPC2000
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NEWS
     4 OCT 07
                 Multiple databases enhanced for more flexible patent
                 number searching
NEWS
      5 OCT 22
                 Current-awareness alert (SDI) setup and editing
                 enhanced
NEWS
     6 OCT 22
                 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                 Applications
     7 OCT 24
NEWS
                 CHEMLIST enhanced with intermediate list of
                 pre-registered REACH substances
NEWS
         NOV 21
                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
                 and Japanese-language basic patents from 2004-present
         NOV 26 MARPAT enhanced with FSORT command
NEWS 9
NEWS 10
         NOV 26 MEDLINE year-end processing temporarily halts
                 availability of new fully-indexed citations
         NOV 26 CHEMSAFE now available on STN Easy
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NEWS 12
         NOV 26
                 Two new SET commands increase convenience of STN
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NEWS 13 DEC 01 ChemPort single article sales feature unavailable
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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

0.42 0.42

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STRUCTURE FILE UPDATES: 9 DEC 2008 HIGHEST RN 1082653-47-1 9 DEC 2008 HIGHEST RN 1082653-47-1 DICTIONARY FILE UPDATES:

New CAS Information Use Policies, enter HELP USAGETERMS for details.

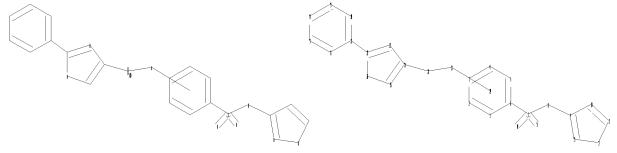
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\STNEXP\Queries\10563708-10.str



chain nodes :

7 8 14 15 27 28

ring nodes :

 $1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21 \quad 22 \quad 23 \quad 24 \quad 25 \quad 26$

chain bonds :

6-7 7-8 7-14 7-15 8-9 21-22 24-28 27-28

ring bonds :

exact/norm bonds :

 $6-7 \quad 7-8 \quad 7-14 \quad 7-15 \quad 8-9 \quad 9-10 \quad 9-13 \quad 10-11 \quad 11-12 \quad 12-13 \quad 22-23 \quad 22-26 \quad 23-24$

24-25 25-26 27-28

exact bonds : 21-22 24-28

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:CLASS 29:Atom

L1 STRUCTURE UPLOADED

=> s full 11

FULL SEARCH INITIATED 13:02:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS 27 ANSWERS

SEARCH TIME: 00.00.01

L2 27 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION 178.82 179.24

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:02:48 ON 10 DEC 2008
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=> s 12

1 L2 L3

=> d ibib abs hitstr 13

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

2005:58199 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 142:134592

Preparation of N-pyrazolylbenzenesulfonylamide TITLE:

derivatives as activators of PPARs

Vedananda, Thalaththani Ralalage INVENTOR(S):

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.					KIND		DATE		APPLICATION NO.					DATE			
WO	2005	005421			A1		20050120		WO 2004-EP7442						2004070			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	
		SN,	TD,	TG														
AU	2004255342				A1	1 20050120				AU 2004-255342					20040707			
CA	2531418			A1 20050120				CA 2004-2531418					20040707					
EP	1646628			A1 20060419				EP 2004-740754						20040707				
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK					
CN	N 1816546				A		2006		CN 2004-80019234				20040707					
BR	BR 2004012380				Α	A 20060919				BR 2004-12380				20040707				
MX	MX 2006PA00118				Α	20060427				MX 2006-PA118				20060105				
IN	IN 2006CN00071				Α	20070629		IN 2006-CN71						2	0060	105		
US	US 20070043020				A1 200		2007	0222		US 2006-563708				2	20060619			
RIORIT	ORITY APPLN. INFO.:									US 2	003-	4858	70P		P 2	0030	708	
										WO 2	004-	EP74	42	1	W 2	0040	707	
HER SO	IER SOURCE(S):					PAT	142:	1345	92									

OTHER SOURCE(S): MARPAT 142:134592

GΙ

AΒ Title compds. represented by the formula I [wherein R1, R2= independently H, halo, OH, (un)substituted alkyl(thio), alkoxy, (hetero)aralkyl; R1R2 = (un)substituted (hetero)aromatic ring, alkylene; R3 = H or (un)substituted alkyl; X = Z(CH2)pQW; Z = a bond, O, S, CO, etc.; p = 1-8, Q = a bond, O(alkylene), S(alkylene), CO, etc.; W = cycloalkyl, aryl, (hetero)aralkyl, etc.; L = heteroarom. ring; and pharmaceutically acceptable salts thereof, or prodrug derivs. thereof] were prepared as activators of PPARs (Peroxisome Proliferator-Activated Receptors). For example, II was given in a multi-step synthesis starting from 4-hydroxybenzenesulfonic acid sodium salt dihydrate. II showed an EC50 of about 5 nM in the PPAR α receptor binding assay, and an EC50 of about 3 nM in the PPARy receptor binding assay. Thus, I and their pharmaceutical compns. are useful for the treatment of conditions mediated by the PPAR receptor activity in mammals, such as dyslipidemia, hyperlipidemia, hypercholesteremia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, opthalmic disorders, inflammatory bowel diseases (IBDs) ulcerative colitis and Crohn's disease, and conditions in which impaired glucose tolerance, hyperglycemia and insulin resistance are implicated, such as type-1 and type-2 diabetes, and Syndrome X (no data).

IT 827018-08-6P 827018-09-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPAR receptors)

RN 827018-08-6 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

RN 827018-09-7 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

IT 827018-10-0P 827018-11-1P 827018-12-2P

827018-13-3P 827018-14-4P 827018-15-5P

827018-16-6P 827018-17-7P 827018-18-8P

827018-19-9P 827018-20-2P 827018-21-3P

827018-22-4P 827018-23-5P 827018-24-6P

827018-25-7P 827018-26-8P 827018-27-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPAR receptors)

RN 827018-10-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

RN 827018-11-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N,1-diethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

RN 827018-12-2 CAPLUS

CN Benzenesulfonamide, N-(4-benzoyl-1-ethyl-1H-pyrazol-3-yl)-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ Ph & & \\$$

RN 827018-13-3 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

RN 827018-14-4 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

RN 827018-15-5 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(phenylmethyl)- (CA INDEX NAME)

RN 827018-16-6 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-methyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

RN 827018-17-7 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-methyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

RN 827018-18-8 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(2-propen-1-yl)-, ethyl ester (CA INDEX NAME)

RN 827018-19-9 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-1-(2-propen-1-yl)- (CA INDEX NAME)

RN 827018-20-2 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[[[4-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]phenyl]sulfonyl]amino]-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 827018-21-3 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-(1-methylethyl)-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

RN 827018-22-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N-methyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

RN 827018-23-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N,N-dimethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

RN 827018-24-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(cyclopropylmethyl)-1-ethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{NH} \\ \text{CH}_2 - \text{O} \\ \text{O} \\ \text{O} \\ \text{C} \\ \text{C}$$

RN 827018-25-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-3-[[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)

RN 827018-26-8 CAPLUS

CN Benzenesulfonamide, N-[1-ethyl-4-(1-piperidinylcarbonyl)-1H-pyrazol-3-yl]- 4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]- (CA INDEX NAME)

RN 827018-27-9 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[methyl[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

IT 827018-07-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyrazolylbenzenesulfonylamide derivs. as activators of PPARs)

RN 827018-07-5 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-ethyl-3-[[[4-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]phenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

9

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>
Uploading C:\Program Files\STNEXP\Queries\10563708M.str

chain nodes :
7 8 14 15 27
ring nodes :
1 2 3 4 5 6 9 10 11 12 13 16 17 18 19 20 21 22 23 24 25 26

chain bonds :

6-7 7-8 7-14 7-15 8-9 21-22 24-27

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 9-10 \quad 9-13 \quad 10-11 \quad 11-12 \quad 12-13 \quad 16-17 \quad 16-21 \quad 17-18$

18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26

exact/norm bonds :

 $6-7 \quad 7-8 \quad 7-14 \quad 7-15 \quad 8-9 \quad 9-10 \quad 9-13 \quad 10-11 \quad 11-12 \quad 12-13 \quad 22-23 \quad 22-26 \quad 23-24$

24-25 24-27 25-26

exact bonds :

21-22

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 16-17 \quad 16-21 \quad 17-18 \quad 18-19 \quad 19-20 \quad 20-21$

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:Atom

L4 STRUCTURE UPLOADED

=> s 14

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 13:05:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

L6 0 L5

=> s full 14

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 13:05:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 117 TO ITERATE

100.0% PROCESSED 117 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L7 0 SEA SSS FUL L4

L8 0 L7

Uploading C:\Program Files\STNEXP\Queries\10563708-11.str

chain nodes :

7 8 14 15 27 29

ring nodes :

 $1 \quad \overset{.}{2} \quad 3 \quad 4 \quad 5 \quad 6 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21 \quad 22 \quad 23 \quad 24 \quad 25 \quad 26$

chain bonds :

6-7 7-8 7-14 7-15 8-9 21-22 24-29 27-29

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 9-10 \quad 9-13 \quad 10-11 \quad 11-12 \quad 12-13 \quad 16-17 \quad 16-21 \quad 17-18$

18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26

exact/norm bonds :

 $6-7 \quad 7-8 \quad 7-14 \quad 7-15 \quad 8-9 \quad 9-10 \quad 9-13 \quad 10-11 \quad 11-12 \quad 12-13 \quad 22-23 \quad 22-26 \quad 23-24$

24-25 25-26 27-29

exact bonds :

21-22 24-29

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 16-17 \quad 16-21 \quad 17-18 \quad 18-19 \quad 19-20 \quad 20-21$

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:Atom 29:CLASS

L9 STRUCTURE UPLOADED

=> s full 19

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 13:13:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L10 0 SEA SSS FUL L9

L11 0 L10

=> exit
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:exit
'EXIT' IS NOT VALID HERE
For an explanation, enter "HELP LOGOFF".

=>